

PROTEASE INHIBITORS THAT OVERCOME DRUG RESISTANCE

Abstract of the Invention

HIV protease inhibitors are among the most powerful drugs in suppressing HIV in human patients. However, HIV developed resistance to all protease inhibitor drugs so far marketed or used in clinical trials. HIV generates resistance by mutating its protease. The strains of HIV containing mutant proteases less vulnerable to inhibitor drug are able to replicate better and maintain the infection. No effective principle exists for the design of resistance-proof HIV protease inhibitors (HIVPr). A new inhibitor has been developed based on a new concept for designing resistance invulnerable HIVPr inhibitors. *In vitro* data have shown that this inhibitor is effective against many known HIVPr mutants resistant to other HIVPr inhibitor drugs. The new concept is, therefore, generally applicable for the design of other resistance invulnerable HIVPr inhibitor drugs.